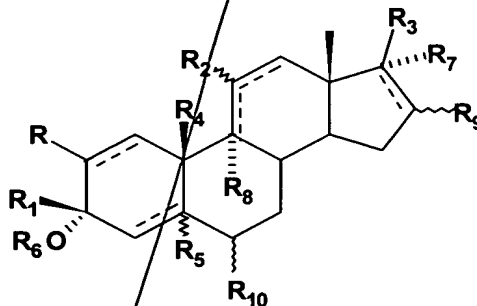


Kindly add the following new claims 46-61:

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46. A compound of the formula:



or a physiologically acceptable salt or 3-ester thereof; wherein

R is one of hydrogen, amino, thio, sulfinyl, sulfonyl, halogen, lower alkoxy, alkynyl or substituted alkynyl;

R₁ is one of hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, dihaloalkyl, trihaloalkyl, optionally substituted aralkynyl, alkoxyalkyl, aminoalkyl, cyano, cyanoalkyl, thiocynoalkyl, azidoalkyl, optionally substituted arylalkyl, arylalkenyl, optionally substituted aryl, optionally substituted aralkylalkynyl, alkanoyloxyalkynyl, optionally substituted heteroaryloxyalkynyl, oxoalkynyl or a ketal thereof, cyanoalkynyl, optionally substituted heteroarylalkynyl, hydroxyalkynyl, alkoxyalkynyl, aminoalkynyl, acylaminoalkynyl, mercaptoalkynyl, hydroxyalkynyl dioic acid hemi-ester or a salt thereof, or alkynyloxyalkynyl;

R₂ is one of hydrogen, alkoxy, a keto group or a dimethylamino group;

R₃ is one of -C(O)-CH₂-Y-G, -C(O)-CH₂-O-D, -C(O)-CH₂-O-E, -C(O)-CH₂-Z-G₂, -C(O)-CH₂-Y'-Z-G or -C(O)-CH₂-Y'-Z-A;

Y is one of S, SO or SO₂;

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Y' is one of O, S, SO or SO₂;

Z is one of alkylene, alkenylene or alkynylene;

G is one of C-attached heteroaryl, optionally substituted aryl, a quaternary ammonium salt of a nitrogen containing heteroaryl group or a quaternary ammonium salt of an amino substituted aryl group;

D is C-attached heteroaryl or a quaternary ammonium salt of a nitrogen containing heteroaryl group;

E is optionally substituted aryl or a quaternary ammonium salt of an amino substituted aryl group;

A is one of amino, amido, cyano, thiocyno, azido, nitro, hydroxy, halo, carboxyl, alkoxy, alkoxycarbonyl, alkanoyloxy, sulfate, thiosulfate, sulfonate, alkylthio, alkylsulfinyl, alkylsulfonyl or mercapto;

R₄ is one of hydrogen or methyl;

R₅, R₆, R₇, R₈, R₉ and R₁₀ are each hydrogen; and

the dotted lines all represent single bonds; with the following provisos:

when R₃ is -C(O)-CH₂-Y-G, and G is C-attached heteroaryl or optionally substituted aryl, then R₁ is other than hydrogen or alkyl;

when R₃ is -C(O)-CH₂-O-E, and E is optionally substituted aryl, then R₁ is other than hydrogen;

when R₃ is -C(O)-CH₂-Y'-Z-G, and Y' is O, and G is aryl, then R₁ is other than hydrogen;

when R₃ is -C(O)-CH₂-Y'-Z-G, and Y' is S, SO, or SO₂, and G is aryl, then R₁ is other than hydrogen or alkyl;

when R₃ is -C(O)-CH₂-Z-G, then R₁ is other than hydrogen;

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when R_3 is $-C(O)-CH_2-Y'-Z-A$, and Y' is O, and A is hydrogen, halo, carboxyl, alkoxycarbonyl, alkoxy, cyano or amino, then R_1 is other than hydrogen; and

when R_3 is $-C(O)-CH_2-Y'-Z-A$, and Y' is S, SO, or SO_2 , and A is hydrogen, halo, carboxyl, alkoxycarbonyl, or amino, then R_1 is other than hydrogen or alkyl.

47. A compound of claim 46, wherein R_1 is alkenyl, optionally substituted aryl, optionally substituted arylalkyl, trihalomethyl, halomethyl or alkoxyalkyl, wherein the optional substituents on said optionally substituted aryl and optionally substituted arylalkyl are one to five substituents, independently selected from the group consisting of lower acyclic alkyl, lower cyclic alkyl, carboaryl, heteroaryl, alkenyl, alkynyl, alkoxy, halo, haloalkyl, amino, mercapto, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, alkanoyl, alkanoyloxy, alkanoyloxyalkanoyl, alkoxycarboxy, formyl, carboxy, hydroxy, cyano, azido, keto, alkanoylamido, heteroaryloxy, heterocarbocycloxy, $-CONR^kR^l$, where R^k and R^l are independently hydrogen or lower alkyl, and $-COOR^j$, where R^j is lower alkyl.

48. A compound of claim 47, wherein R_1 is alkoxyalkyl.

49. A compound of claim 47, wherein R_3 is $-C(O)-CH_2-Y-G$, and G is a C-attached heteroaryl selected from the group consisting of pyridyl, pyrimidinyl, pyrazinyl, imidazolyl, triazolyl, tetrazolyl, quinolinyl, indolyl, benzimidazolyl, and isoquinolinyl.

50. A compound of claim 47, wherein R_3 is $-C(O)-CH_2-Y-G$, and G is a phenyl group, substituted by one, two, or three of nitro, amino, dimethylamino, carboxy, methyl, hydroxy, methoxy, fluoro, chloro, bromo, cyano or pyrrolidinyl.

51. A compound of claim 47, wherein R_3 is $-C(O)-CH_2-O-D$, and D is a C-attached heteroaryl selected from the group consisting of pyridyl, pyrimidinyl, pyrazinyl, imidazolyl, triazolyl, tetrazolyl, quinolinyl, indolyl, benzimidazolyl, and isoquinolinyl.

52. A compound of claim 47, wherein R_3 is $-C(O)-CH_2-O-E$, and E is a phenyl group, substituted by one, two, or three of nitro, amino, dimethylamino, carboxy, methyl, hydroxy, methoxy, fluoro, chloro, bromo, cyano or pyrrolidinyl.

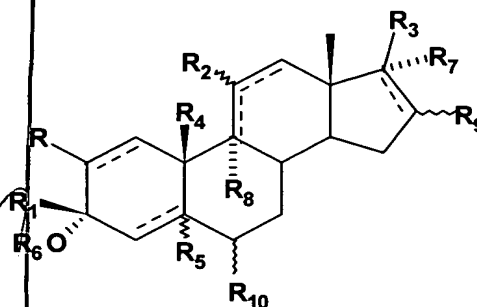
53. A compound of claim 46, wherein R_3 is $-COCH_2S-(4-PhNH_2)$, $-COCH_2O-(4-PhN^+Me_3)I^-$, $-COCH_2O-4-pyridyl$, $-COCH_2O-3-pyridyl$, $-COCH_2S-(4-pyridyl)-N$ -methyl iodide, $-COCH_2SCH_2CH_2OH$, $-COCH_2OCH_2CH_2OH$, $-COCH_2SCH_2CH_2CH_2OH$, $-COCH_2SOCH_2CH_2CH_2OH$, $-COCH_2SO_2CH_2CH_2CH_2OH$, $-COCH_2SCH_2COO^-Na^+$, $-COCH_2SCH_2CH_2COO^-Na^+$, $-COCH_2SCH_2CH_2OSO_3^- \{HN(CH_3)_3\}^+$, $-COCH_2SCH_2CH_2CH_2OSO_3^-Na^+$, $-COCH_2SCH_2CH_2SO_3^-Na^+$, $-COCH_2SCH_2CH_2CH_2SO_3^-Na^+$, $-COCH_2SO_2CH_2CH_2CH_2SO_3^-Na^+$ or $-COCH_2OCH_2CH_2CH_2SO_3^-Na^+$.

54. A compound of claim 46, wherein R_3 is $-COCH_2S-(4-fluorophenyl)$, $-COCH_2O-(6-quinolinyl)$, $-COCH_2SO_2-(4-fluorophenyl)$, $-COCH_2SO_2-(4-pyrrolidinophenyl)$,

-COCH₂CH₂-(4-pyridyl), -COCH₂O-(4-nitrophenyl), -COCH₂O-(4-dimethylaminophenyl),
-COCH₂SO-(4-nitrophenyl) or -COCH₂SO₂-(4-nitrophenyl).

55. A compound of claim 46, wherein R is hydrogen, halogen, lower alkoxy, or alkynyl optionally substituted by lower acyclic alkyl, lower cyclic alkyl, carboaryl, heteroaryl, alkenyl, alkynyl, alkoxy, halo, haloalkyl, amino, mercapto, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, alkanoyl, alkanoyloxy, alkanoyloxyalkanoyl, alkoxycarboxy, formyl, carboxy, hydroxy, cyano, azido, keto, alkanoylamido, heteroaryloxy, heterocarbocyclicoxy, -CONR^kR^l, where R^k and R^l are independently hydrogen or lower alkyl, -COOR^j, where R^j is lower alkyl, or combinations thereof.

56. A compound of the formula:



or a physiologically acceptable salt or 3-ester thereof; wherein

the bond between C4 and C5 of the steroid ring system is a single bond;

R is one of hydrogen, halogen, lower alkoxy, alkyl, substituted alkyl, alkynyl or substituted alkynyl;

R₁ is one of alkenyl, alkynyl, haloalkyl, dihaloalkyl, trihaloalkyl, optionally substituted aralkynyl, alkoxyalkyl, aminoalkyl, cyano, cyanoalkyl, thiocynoalkyl, azidoalkyl, optionally

R₂ is one of hydrogen, hydroxy, alkoxy, alkanoyloxy, carbalkoxy, a keto group or amino group;

Y is one of S, SO or SO₂;

G is one of C-attached heteroaryl, optionally substituted aryl, a quaternary ammonium salt of a nitrogen containing heteroaryl group or a quaternary salt of an amino substituted aryl group;

D is C-attached heteroaryl or a quaternary ammonium salt of a nitrogen containing heteroaryl group; and

E' is optionally substituted aryl or a quaternary ammonium salt of an amino substituted aryl group;

R_4 is one of hydrogen or lower alkyl,

R₅ is hydrogen;

R₆ is one of hydrogen, alkanoyl, aminocarbonyl or alkoxycarbonyl;

R₇ is one of hydrogen, halogen, hydroxy, alkoxy, alkanoyloxy or carbalkoxyl;

R_8 is one of hydrogen or halogen;

R₉ is one of hydrogen, halogen, alkyl, alkoxy, arylalkoxy or amino;